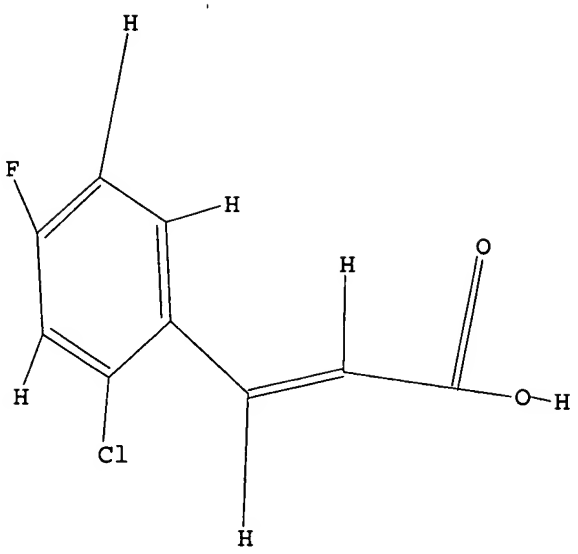


Structure attributes must be viewed using STN Express query preparation.

=> s l8
   REGlstRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 14:47:11 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 60 TO ITERATE

100.0% PROCESSED 60 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 736 TO 1664
PROJECTED ANSWERS: 0 TO 0

L9 0 SEA SSS SAM L8

L10 0 L9

=> s l8 full
   REGlstRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 14:47:23 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1070 TO ITERATE

100.0% PROCESSED 1070 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

L11 2 SEA SSS FUL L8

L12 8 L11

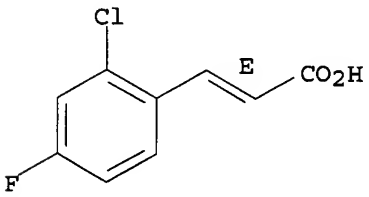
=> d 1-8 ibib abs hitstr

L12 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:982461 CAPLUS
DOCUMENT NUMBER: 138:204811
TITLE: Indanylidenes. 2. Design and Synthesis of (E)-2-(4-Chloro-6-fluoro-1-indanylidene)-N-methylacetamide, a Potent Antiinflammatory and Analgesic Agent without Centrally Acting Muscle Relaxant Activity
AUTHOR(S): Musso, David L.; Orr, G. Faye; Cochran, Felicia R.; Kelley, James L.; Selph, Jeffrey L.; Rigdon, Greg C.; Cooper, Barrett R.; Jones, Michael L.
CORPORATE SOURCE: GlaxoSmithKline Research and Development, Research Triangle Park, NC, 27709, USA
SOURCE: Journal of Medicinal Chemistry (2003), 46(3), 409-416
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:204811

AB Extension of the structure-activity relationship studies that led to the discovery of the nonsedating potent muscle relaxant, antiinflammatory, and analgesic agent (E)-2-(4,6-difluoro-1-indanylidene)acetamide has given rise to (E)-2-(4-chloro-6-fluoro-1-indanylidene)-N-methylacetamide (I). I is a potent antiinflammatory and analgesic agent without centrally acting muscle relaxant activity.

IT **174603-37-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of (E)-2-(4-chloro-6-fluoro-1-indanylidene)-N-methylacetamide, a potent antiinflammatory and analgesic agent without centrally acting muscle relaxant activity and its analogs)
RN 174603-37-3 CAPLUS
CN 2-Propenoic acid, 3-(2-chloro-4-fluorophenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:142668 CAPLUS
DOCUMENT NUMBER: 136:183704
TITLE: Indoline derivatives as 5-HT2C antagonists, useful as anxiolytics and antidepressants
INVENTOR(S): Bromidge, Steven Mark; Lovell, Peter John; Moss, Stephen Frederick; Serafinowska, Halina Teresa
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

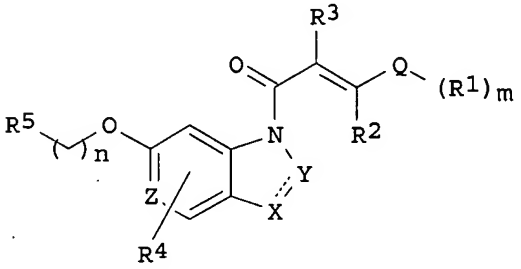
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014273	A1	20020221	WO 2001-EP9273	20010809
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001095455	A5	20020225	AU 2001-95455	20010809
EP 1309551	A1	20030514	EP 2001-976067	20010809
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: GB 2000-19950 A 20000812
WO 2001-EP9273 W 20010809

OTHER SOURCE(S): MARPAT 136:183704

GI



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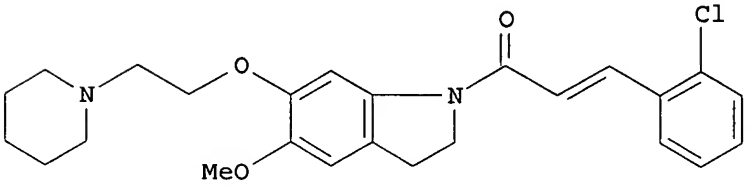


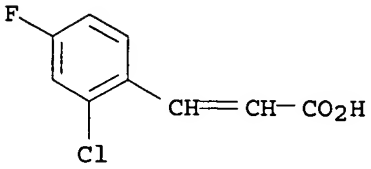
II

AB The invention relates to novel cinnamide compds., which have 5-HT2C antagonist activity, of formula I, or pharmaceutically acceptable salts thereof [in which: ring Q is Ph or naphthyl; R1 is halo, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, OH, (di)(C1-6alkyl)amino, NO2, CN, CF3, OCF3, aryl, arylC1-6alkyl, arylC1-6alkyloxy or arylC1-6alkylthio; m is 0-5; R2 and R3 are independently H or C1-6alkyl; R4 is H, halo, C1-6alkyl, C1-6alkoxy, aryl, cyano, haloC1-6alkyl or OCF3; Z is C or N; R5 is either: (i) a group NR6R7 where R6 and R7 are independently H, (un)substituted C1-6alkyl; or (ii) (un)substituted N-linked heterocycle; or (iii) an (un)substituted C-linked heterocycle; n = 0-3, provided that n in not 0 when R5 is a group (i) or (ii); dashed line is an optional double bond, where X and Y are independently CR8R9 (when single bond) or CR10 (when double bond); wherein R8, R9 and R10 are independently H or C1-6alkyl]. Also disclosed are processes for prepn. of I, compns. contg. them, and their use in the treatment of CNS and other disorders. In particular, their use for treating anxiety and/or depression is claimed. A total of 171 examples and 73 intermediate prepns. are given. For instance, 2-methoxy-5-nitrophenol was etherified with 1-(2-chloroethyl)piperidine-HCl (70%), followed by hydrogenation of nitro to amino (100%), reductive alkylation of amino with (MeO)2CHCHO (88%), cyclization to form an indole (73%), redn. to give an indoline (72%), and N-coupling with

2-chlorocinnamic acid (40% to give preferred (as HCl salt) invention compd. (E)-II. In a test for inhibition of [3H]-mesulergine binding at human 5-HT2C clones expressed in HEK 293 cells in vitro, I had pKi values in the range of 7.5-9.8.

IT **133220-86-7**, 2-Chloro-4-fluorocinnamic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(precursor; prepn. of indoline derivs. as 5-HT2C antagonists)

RN 133220-86-7 CAPLUS
CN 2-Propenoic acid, 3-(2-chloro-4-fluorophenyl)- (9CI) (CA INDEX NAME)



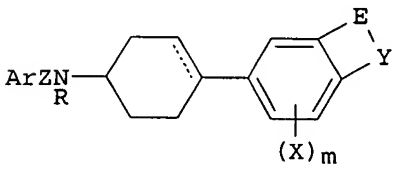
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:886087 CAPLUS
DOCUMENT NUMBER: 136:20063
TITLE: Preparation of aminocyclohexylbenzazolones as NMDA receptor antagonists.
INVENTOR(S): Nikam, Sham Shridhar; Scott, Ian Leslie; Sherer, Brian Alan; Wise, Lawrence David
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 156 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001092239	A1	20011206	WO 2001-US14763	20010508
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1286975	A1	20030305	EP 2001-933173	20010508
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO; MK, CY, AL, TR				
BR 2001011301	A	20030610	BR 2001-11301	20010508
NO 2002005762	A	20030109	NO 2002-5762	20021129

PRIORITY APPLN. INFO.: US 2000-208241P P 20000531
WO 2001-US14763 W 20010508

OTHER SOURCE(S): MARPAT 136:20063
GI



I

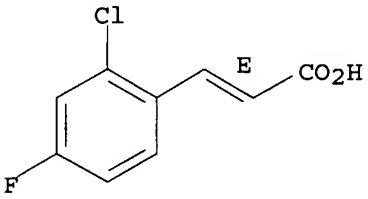
AB 'Title compds. [I; Ar = (su[redacted]tituted) aryl, heteroaryl; Z = [redacted]R1R2)n, O2C, OSO2, etc.; n = 1-6; R = H, alkyl, COR6, CO2R6, CONHR6, aralkyl, hydroxyalkyl, aminoalkyl, etc.; R6 = alkyl, aralkyl; X = H, electron withdrawing group; m = 0-2; EY = CH:CHNH, CH2CH2NH, O2CNH, SCONH, N:NNH, CH:CHNH, N:CHNH, etc.; dotted line = optional double bond], were prepd. Thus, a mixt. of 6-(4-oxocyclohexyl)benzoxazolin-2-one (prepn. given), Ph(CH2)3NH2, and 3A mol. sieves were stirred 4 h in Me2CHOH; NaBH4 was added followed by stirring overnight to give 42% 6-[trans-4-(3-phenylpropylamino)cyclohexyl]-3H-benzoxazol-2-one (II). II inhibited NR1A/NR2B receptors in oocytes with IC50 = 0.03 .mu.M. A II drug formulation is given.

IT **174603-37-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of aminocyclohexylbenzazolones as NMDA receptor antagonists)

RN 174603-37-3 CAPLUS

CN 2-Propenoic acid, 3-(2-chloro-4-fluorophenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:693264 CAPLUS

DOCUMENT NUMBER: 135:257269

TITLE: Preparation of N-heterocyclyl amide compounds as 5-HT antagonists

INVENTOR(S): Yamada, Akira; Tomishima, Masaki; Hayashida, Hisashi; Imanishi, Masashi; Spears, Glen W.; Ito, Kiyotaka; Takahashi, Fumie; Miyake, Hiroshi

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 239 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001068585	A1	20010920	WO 2001-JP1993	20010313
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001041128	A5	20010924	AU 2001-41128	20010313
EP 1264820	A1	20021211	EP 2001-912338	20010313
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.:
JP 2000-70127 A 20000314
JP 2000-305947 A 20001005
WO 2001-JP1993 W 20010313

OTHER SOURCE(S): CASREACT 135:257269; MARPAT 135:257269

AB Amides compds. represented by the general formula R1-A-X-NHCO-Y-R2 [wherein R1 is an optionally substituted heterocyclic group or optionally

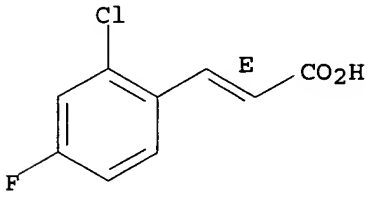
substituted phenyl; R2 is optionally substituted fused Ph, optionally substituted Ph, or optionally substituted thienyl; A is a group represented by the formula -(CH2)t-(O)m- or -(CR3R4)pNR5(CO)n- (wherein R3 and R4 each is hydrogen or R3 and R4 in combination form imino; R5 is hydrogen or lower alkyl; t is 0, 1, or 2; and p, m, and n each is 0 or 1); X is optionally substituted phenylene or an optionally substituted, divalent, nitrogenous heterocyclic group; and Y is a bond, lower alkylene, or lower alkenylene] and salts thereof are prepd. Theses amides include phenylacetamide, cinnamides, 1H-indole-7-carboxamides, 3-(2-pyridyl)-2-propenamides, 5-phenyl-2-thiophenecarboxamides, 9H-carbazolecarboxamides, 3-phenyl-2-propenamides, 9H-fluorene-1-carboxamides, 2,3-dihydrobenz[b]oxepine-4-carboxamides, 1H-benzo[b]thiepin-4-carboxamides, and 3-(1H-indol-3-yl)-2-propenamides. They are antagonists of 5-hydroxytryptamine (5-HT), in particular 5-HT2c, and are useful for the treatment of 5-HT-mediated diseases such as (1) central nervous system disorders in including anxiety, depression, obsessive-compulsive neurosis, migraine headache, anorexia, Alzheimer's disease, sleep disorder, over-eating, and panic, (2) withdrawal symptom caused by cocaine, ethanol, nicotine, and benzodiazepine, (3) schizophrenia, (4) spinal cord injury, and /or (5) head injury such as hydrocephalus. Thus, SOCl2 was added to a soln. of (E)-4-phenyl-3-butenoic acid in benzene, heated under reflux for 1 h, and cooled, followed by adding 3-(imidazol-1-yl)aniline and Et3N, and the resulting mixt. was stirred at room temp. for 1 h to give (3E)-N-[3-(imidazol-1-yl)phenyl]-4-phenyl-3-butenamide (I). I in vitro inhibited by 82% the binding of [3H]mesulergine to 5-HT2c receptor which was prepd. from rat frontal lobe cortex.

IT **174603-37-3**, (E)-3-(2-Chloro-4-fluorophenyl)acrylic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of N-heterocyclyl amide compds. as 5-HT antagonists for treatment of 5-HT-mediated diseases such as central nervous system disorders, drug withdrawal symptom, schizophrenia, spinal code injury, and head injury)

RN 174603-37-3 CAPLUS

CN 2-Propenoic acid, 3-(2-chloro-4-fluorophenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:564786 CAPLUS
DOCUMENT NUMBER: 135:132416
TITLE: Preparation of isoxazoline derivatives as anthelmintics and nematocides
INVENTOR(S): Chalquest, Richard R.
PATENT ASSIGNEE(S): Akkadix Corporation, USA
SOURCE: PCT Int. Appl., 183 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

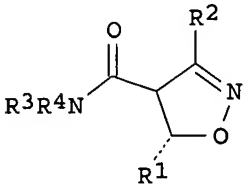
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001054505	A1	20010802	WO 2001-US2843	20010129

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2001049373	A1	20011206	US 2001-770121	20010126
US 2002002171	A1	20020103	US 2001-771067	20010126
US 2002016330	A1	20020207	US 2001-772262	20010129

PRIORITY APPLN. INFO.: US 2000-179005P P 20000128
OTHER SOURCE(S): MARPAT 135:132416
GI

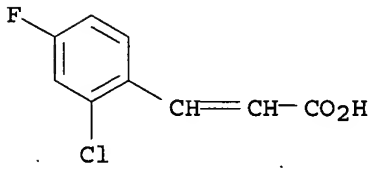


I

AB The isoxazoline derivs. I [R1 = (un)substituted aryl, arylacetal, alkyl, etc.; R2 = alkyl, arylalkyl, haloalkyl, haloaryl; R3 = aryl, alkoxyaryl, alkyl, pyrrolylalkyl, pyrrolidonylalkyl, etc.; R4 = H or alkyl; R3R4 = (un)substituted heterocyclyl] are prepd. as anthelmintics and nonphytotoxic nematocides. I can be used in conjunction with other nematocides, such as free fatty acids, fatty acid salts, avermectins, ivermectin, and milbemycin. I also kills nematodes eggs.

IT **133220-86-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant in prepn. of isoxazoline deriv. anthelmintics and nematocides)

RN 133220-86-7 CAPLUS
CN 2-Propenoic acid, 3-(2-chloro-4-fluorophenyl)- (9CI) (CA INDEX NAME)

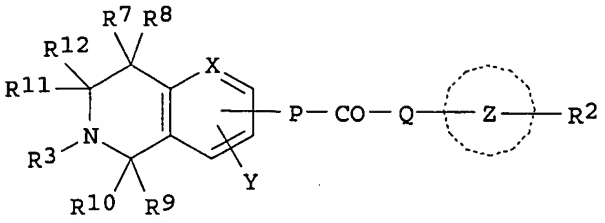


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:117027 CAPLUS
DOCUMENT NUMBER: 132:166128
TITLE: Preparation of substituted isoquinolines as anticonvulsants
INVENTOR(S): Coulton, Steven; Harling, John David; Porter, Roderick Alan; Thompson, Mervyn
PATENT ASSIGNEE(S): Smithkline Beecham Plc, UK
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2000007993 A1 200[redacted]217 WO 1999-EP5583 1999[redacted]3
W: CA, JP, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
PRIORITY APPLN. INFO.: GB 1998-16984 19980805
OTHER SOURCE(S): MARPAT 132:166128
GI



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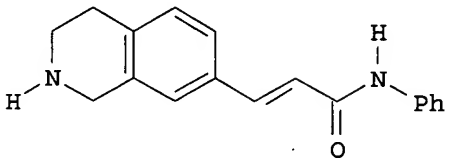


II

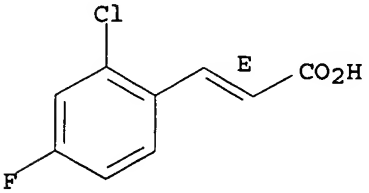
AB The title compds. [I; Z = a carbocyclic or heterocyclic or a fused carbocyclic or heterocyclic ring contg. at least one arom. ring; X = CH, N; Y = H, alkyl, halo; P = CH:CH and Q = NR1, or P = CH:CH and Q = NR1CH2, or P = NH and Q = CR1a:CH; R1 = H, phenylalkyl, alkyl; R1a = H, halo, phenylalkyl, alkyl; R2 = H, halo, NO2, etc.; R3 = H, phenylalkyl, alkyl, etc.; R7-R12 = H, alkyl] including tetrahydroisoquinolinyl cinnamides and acrylamides which are indicated to be useful for the treatment and/or prevention of anxiety, mania, depression, panic disorders and/or aggression, disorders assocd. with a subarachnoid hemorrhage or neural shock, the effects assocd. with withdrawal from substances of abuse such as cocaine, nicotine, alc. and benzodiazepines, disorders treatable and/or preventable with anti-convulsive agents, such as epilepsy including post-traumatic epilepsy, Parkinson's disease, etc., were prepd. Thus, reacting (E)-7-(2-carboxyvinyl)-3,4-dihydro-1H-isoquinoline-2-carboxylic acid tert-Bu ester with aniline followed by treatment of the intermediate with trifluoroacetic acid afforded (E)-II which showed statistically significant increase (140%) in seizure threshold at 10 mg/kg p.o. in mice (MEST test).

IT **174603-37-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of substituted isoquinolines as anticonvulsants)

RN 174603-37-3 CAPLUS

CN 2-Propenoic acid, 3-(2-chloro-4-fluorophenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



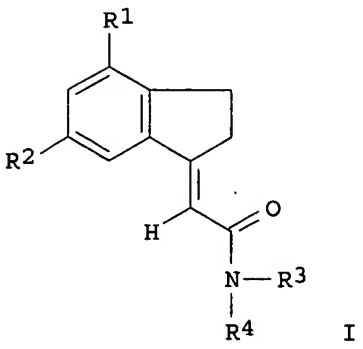
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:171793 CAPLUS
DOCUMENT NUMBER: 124:232071
TITLE: Preparation of (E)-2-(1-indanylidene)acetamide antiinflammatory and analgesic agents
INVENTOR(S): Musso, David Lee; Kelley, James Leroy
PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9530645	A1	19951116	WO 1995-GB1040	19950509
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2190009	AA	19951116	CA 1995-2190009	19950509
AU 9524138	A1	19951129	AU 1995-24138	19950509
AU 702606	B2	19990225		
ZA 9503753	A	19961111	ZA 1995-3753	19950509
EP 759026	A1	19970226	EP 1995-918068	19950509
EP 759026	B1	19990818		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1153510	A	19970702	CN 1995-193920	19950509
CN 1079788	B	20020227		
BR 9507677	A	19970819	BR 1995-7677	19950509
HU 76465	A2	19970929	HU 1996-3103	19950509
HU 219241	B	20010328		
JP 09512814	T2	19971222	JP 1995-528787	19950509
JP 3419462	B2	20030623		
AT 183496	E	19990915	AT 1995-918068	19950509
ES 2134472	T3	19991001	ES 1995-918068	19950509
IL 113665	A1	19991222	IL 1995-113665	19950509
IL 124966	A1	19991222	IL 1995-124966	19950509
RU 2145954	C1	20000227	RU 1996-123227	19950509
IN 178979	A	19970802	IN 1995-CA644	19950606
FI 9604482	A	19961107	FI 1996-4482	19961107
NO 9604750	A	19961108	NO 1996-4750	19961108
US 5708033	A	19980113	US 1996-732476	19961108
IN 182340	A	19990327	IN 1997-CA572	19970331
IN 182377	A	19990403	IN 1997-CA570	19970331
IN 182378	A	19990403	IN 1997-CA571	19970331
HK 1014532	A1	20000505	HK 1998-115769	19990204

PRIORITY APPLN. INFO.:
EP 1994-303350 A 19940510
IL 1995-113665 A3 19950509
IN 1995-CA525 A1 19950509
WO 1995-GB1040 W 19950509
IN 1995-CA644 A1 19950606

OTHER SOURCE(S): MARPAT 124:232071
GI



I

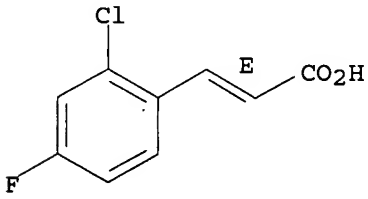
AB The title compds. (I; R1, R2 = Cl, F, Br, C1-6 alkyl, C1-6 alkoxy, C1-6 haloalkyl provided that both R1 and R2 are not F; R3, R4 = H, C1-6 alkyl), useful as antiinflammatory and analgesic agents and antiarthritics (no data), are prepd. and I-contg. formulations presented. Thus, (E)-2-(4-chloro-6-fluoro-1-indanylidene)-N-methylacetamide, m.p. 173-175.degree., prepd. in 14 steps from 2-chloro-4-fluorobenzaldehyde, demonstrated a ED50 in a rat carrageenan pleurisy assay of 5 mg/kg (p.o.).

IT **174603-37-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of (E)-2-(1-indanylidene)acetamide antiinflammatory and analgesic agents)

RN 174603-37-3 CAPLUS

CN 2-Propenoic acid, 3-(2-chloro-4-fluorophenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L12 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1991:185539 CAPLUS

DOCUMENT NUMBER: 114:185539

TITLE: Preparation of m-(2,6-dioxo-4-trifluoromethylpyrimidin-1-yl)cinnamates as herbicides.

INVENTOR(S): Brouwer, Walter G.; Felauer, Ethel E.; Bell, Allyn R.

PATENT ASSIGNEE(S): Uniroyal Chemical Co., Inc., USA; Uniroyal Chemical Ltd.

SOURCE: U.S., 5 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

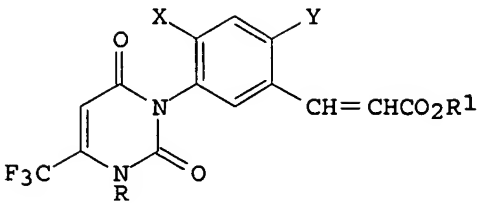
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4979982	A	19901225	US 1990-474955	19900202
ZA 9100466	A	19911127	ZA 1991-466	19910122
WO 9111442	A1	19910808	WO 1991-US518	19910124
W: AU, BG, BR, CA, FI, HU, JP, NO, PL, RO, SU				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
AU 9172386	A1	19910821	AU 1991-72386	19910124
CN 1054591	A	19910918	CN 1991-101126	19910201

PRIORITY APPLN. INFO.: US 1990-474955 19900202
WO 1991-US518 19910124

OTHER SOURCE(S): MARPAT 94:185539

GI



I

AB The title compds. I (R = C1-12 alkyl, alkenyl; R1 = C1-12 alkyl and can form a carbocycle; Y, X = H, halo) were prepd. I (X = H; Y = Cl; R = Me; R1 = CHMe2) (II) was prepd. in 6 steps from 2-chloro-5-nitrobenzaldehyde. II at 11.2 kg/ha (preemergence) gave complete control of velvetleaf, jimsonweed, tall morning glory, barnyard grass, switchgrass, etc. Postemergence activity of I was also given.

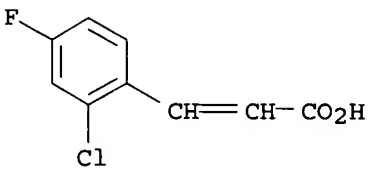
IT **133220-86-7P**

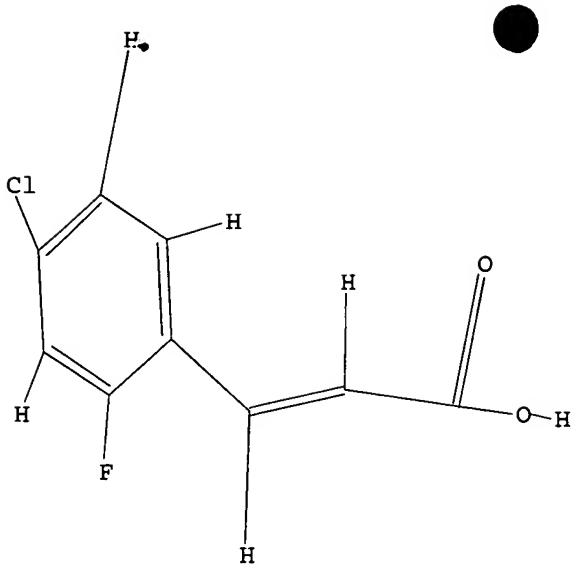
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in prepn. of herbicide)

RN 133220-86-7 CAPLUS

CN 2-Propenoic acid, 3-(2-chloro-4-fluorophenyl)- (9CI) (CA INDEX NAME)





Structure attributes must be viewed using STN Express query preparation.

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Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 14:49:28 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 60 TO ITERATE

100.0% PROCESSED 60 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 736 TO 1664
PROJECTED ANSWERS: 0 TO 0

L14 0 SEA SSS SAM L13

L15 0 L14

=> s l13 full
   REGIstRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

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FULL SCREEN SEARCH COMPLETED - 1070 TO ITERATE

100.0% PROCESSED 1070 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

L16 2 SEA SSS FUL L13

L17 ' 4 L16

=> d 1-4 ibib abs hitstr

L17 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:256222 CAPLUS
DOCUMENT NUMBER: 136:294651
TITLE: Preparation of aryl-substituted N-hydroxy amides with amide linkages as HDAC inhibitors for treatment of proliferative conditions
INVENTOR(S): Watkins, Clare J.; Romero-Martin, Maria-Rosario; Moore, Kathryn G.; Ritchie, James; Finn, Paul W.; Kalvinsh, Ivars; Loza, Einars; Starchenkov, Igor; Dikovska, Klara; Bokaldere, Rasma Melita; Gailite, Vija; Vorona, Maxim; Andrianov, Victor; Lolya, Daina; Semenikhina, Valentina; Amolins, Andris; Harris, C. John; Duffy, James E. S.
PATENT ASSIGNEE(S): Prolifix Limited, UK
SOURCE: PCT Int. Appl., 346 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026696	A1	20020404	WO 2001-GB4329	20010927
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001090134	A5	20020408	AU 2001-90134	20010927
EP 1335898	A1	20030820	EP 2001-970014	20010927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

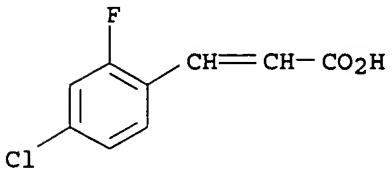
PRIORITY APPLN. INFO.:
GB 2000-23985 A 20000929
US 2001-297785P P 20010614
WO 2001-GB4329 W 20010927

OTHER SOURCE(S): MARPAT 136:294651

AB The title compds. AQ1JQ2CONHOH [I; wherein A = aryl group; Q1 = aryl leader group having a backbone of at least 2 C atoms; J = NR1CO or CONR1; R1 = amido substituent; Q2 = acid leader group; and pharmaceutically acceptable salts, solvates, amides, esters, ethers, chem. protected forms, and prodrugs thereof] were prepd. via soln. phase and solid phase synthetic methods as histone deacetylase (HDAC) inhibitors for treatment of proliferative conditions, such as cancer and psoriasis. For example, 6-aminocaproic acid Me ester.bul.HCl was coupled with 2-naphthoyl chloride in the presence of diisopropyl ethylamine in DMF to give the amide. Deesterification (79%), followed by conversion to the N-hydroxyamide using HONH2.bul.HCl in the presence of 1,1'-carbonyldiimidazole in THF, afforded naphthalene-2-carboxylic acid (5-hydroxycarbamoylpentyl)amide II (PX105687) in 40% yield. The latter inhibited recombinant HDAC1 and HDAC2 with IC50 values of 33 nM and 29 nM, resp., and inhibited cell proliferation against the human cervical adenocarcinoma (HeLa) cell line using cell proliferation reagent WST-1 with IC50 of 1.1 nM. Structure-activity relationship studies showed superior activity for I when (1) the backbone of Q1 had > 1 carbon atoms, and (2) the alkylene group Q2 had > 5 carbon atoms.

IT **202982-65-8**, 3-(4-Chloro-2-fluorophenyl)acrylic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; prepn. of N-hydroxy amides with amide linkages as HDAC inhibitors for treatment of proliferative conditions)

RN 202982-65-8 CAPLUS
CN 2-Propenoic acid, 3-(4-chloro-2-fluorophenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:886087 CAPLUS
DOCUMENT NUMBER: 136:20063
TITLE: Preparation of aminocyclohexylbenzazolones as NMDA receptor antagonists.
INVENTOR(S): Nikam, Sham Shridhar; Scott, Ian Leslie; Sherer, Brian Alan; Wise, Lawrence David
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 156 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001092239	A1	20011206	WO 2001-US14763	20010508
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1286975	A1	20030305	EP 2001-933173	20010508
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011301	A	20030610	BR 2001-11301	20010508
NO 2002005762	A	20030109	NO 2002-5762	20021129

PRIORITY APPLN. INFO.: US 2000-208241P P 20000531
WO 2001-US14763 W 20010508

OTHER SOURCE(S): MARPAT 136:20063
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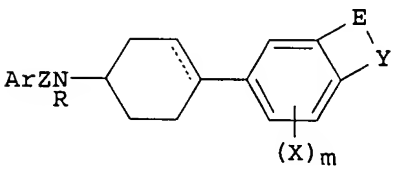


I

AB Title compds. [I; Ar = (substituted) aryl, heteroaryl; Z = (CR1R2)n, O2C, OSO2, etc.; n = 1-6; R = H, alkyl, COR6, CO2R6, CONHR6, aralkyl, hydroxyalkyl, aminoalkyl, etc.; R6 = alkyl, aralkyl; X = H, electron withdrawing group; m = 0-2; EY = CH:CHNH, CH2CH2NH, O2CNH, SCONH, N:NNH, CH:CHNH, N:CHNH, etc.; dotted line = optional double bond], were prepd. Thus, a mixt. of 6-(4-oxocyclohexyl)benzoxazolin-2-one (prepn. given), Ph(CH2)3NH2, and 3A mol. sieves were stirred 4 h in Me2CHOH; NaBH4 was

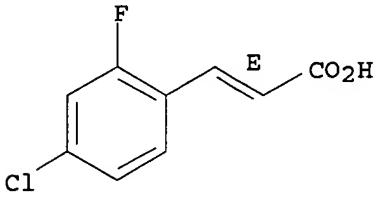
added followed by stirring overnight to give 42% 6-[trans-4-(3-phenylpropylamino)cyclohexyl]-3H-benzoxazol-2-one (II). II inhibited NR1A/NR2B receptors in oocytes with IC50 = 0.03 .mu.M. A II drug formulation is given.

IT **312693-55-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of aminocyclohexylbenzazolones as NMDA receptor antagonists)

RN 312693-55-3 CAPLUS

CN 2-Propenoic acid, 3-(4-chloro-2-fluorophenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

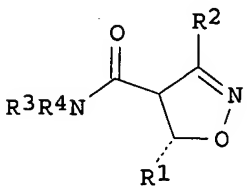


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:564786 CAPLUS
DOCUMENT NUMBER: 135:132416
TITLE: Preparation of isoxazoline derivatives as anthelmintics and nematocides
INVENTOR(S): Chalquest, Richard R.
PATENT ASSIGNEE(S): Akkadix Corporation, USA
SOURCE: PCT Int. Appl., 183 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001054505	A1	20010802	WO 2001-US2843	20010129
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2001049373	A1	20011206	US 2001-770121	20010126
US 2002002171	A1	20020103	US 2001-771067	20010126
US 2002016330	A1	20020207	US 2001-772262	20010129

PRIORITY APPLN. INFO.: US 2000-179005P P 20000128
OTHER SOURCE(S): MARPAT 135:132416
GI



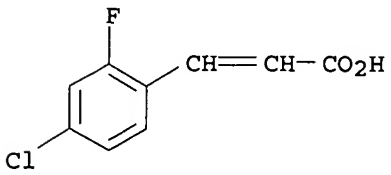
I

AB The isoxazoline derivs. I [illegible]1 = (un)substituted aryl, aryl[illegible]tal, alkyl, etc.; R2 = alkyl, arylalkyl, haloalkyl, haloaryl; R3 = aryl, alkoxyaryl, alkyl, pyrrolylalkyl, pyrrolidonylalkyl, etc.; R4 = H or alkyl; R3R4 = (un)substituted heterocyclyl] are prepd. as anthelmintics and nonphytotoxic nematocides. I can be used in conjunction with other nematocides, such as free fatty acids, fatty acid salts, avermectins, ivermectin, and milbemycin. I also kills nematodes eggs.

IT **202982-65-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant in prepn. of isoxazoline deriv. anthelmintics and nematocides)

RN 202982-65-8 CAPLUS

CN 2-Propenoic acid, 3-(4-chloro-2-fluorophenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:117051 CAPLUS

DOCUMENT NUMBER: 132:151693

TITLE: Preparation of condensed tricyclic piperidines having anti-convulsant activity

INVENTOR(S): Novelli, Riccardo; Porter, Roderick Alan

PATENT ASSIGNEE(S): Smithkline Beecham Plc, UK

SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000008023	A1	20000217	WO 1999-EP5586	19990803

W: CA, JP, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.: GB 1998-16986 19980805

OTHER SOURCE(S): MARPAT 132:151693

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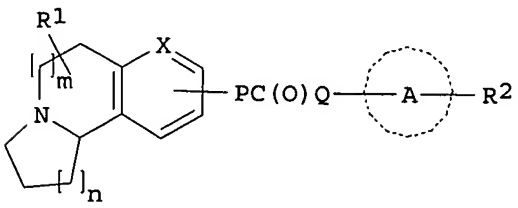
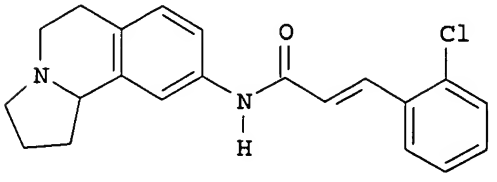


I



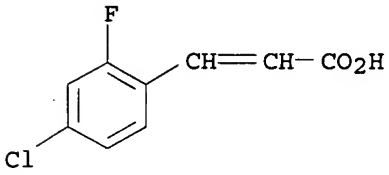
II

AB The title compds. [I; X = CH, N; P = CH:CH and Q = NR6; or P = CH:CH and Q = NR6CH2; or P = NH and Q = CR3:CH; R6 = H, phenylalkyl, alkyl; R3 = H, halo, phenylalkyl, alkyl; A = a monocyclic arom. carbocyclic or heterocyclic compd. or a bicyclic carbocyclic or heterocyclic compd. in which one ring is arom.; m = 1-2; n = 1-2; R1 = H, F, alkyl; R2 = H, halo, NO2, etc.; or two R2 groups are linked together to form a carbocyclic or heterocyclic ring that is (un)satd. and (un)substituted by OH or O; R3 and R2 are linked together form a (un)satd. carbocyclic or heterocyclic ring], useful in the treatment and prophylaxis of epilepsy, migraine, and other disorders, were prepd. Thus, treatment of (.+-.)-1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-amine (prepn. given) with 2-chlorocinnamic acid in the presence of N-hydroxybenzotriazole and ethyldimethylaminopropyl carbodiimide.HCl in DMF afforded II which showed a statistically significant increase in seizure threshold of 432 at 2 mg/kg p.o. in rat (MEST).

IT **202982-65-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of condensed tricyclic piperidines having anti-convulsant activity)

RN 202982-65-8 CAPLUS

CN 2-Propenoic acid, 3-(4-chloro-2-fluorophenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT